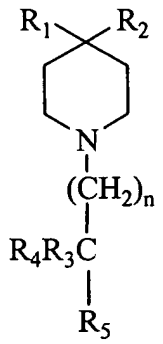
We claim:

1. A compound represented by **A**:



A

wherein

R represents H, alkyl, aralkyl, cycloalkyl, alkenyl, aryl, heteroaryl, acyl, or sulfonyl;

R_1 represents aryl, or heteroaryl;

R_2 represents RO-alkyl, $(R)_2N$-alkyl, RS-alkyl, RO-cycloalkyl, $(R)_2N$-cycloalkyl, or RS-cycloalkyl;

R_3 represents H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

R_4 represents H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

R_5 represents an aryl or heteroaryl group;

R_3 and R_4 may be connected through a covalent bond;

n is 0, 1, or 2; and

the stereochemical configuration at any stereocenter of a compound represented by **A** is *R, S*, or a mixture of these configurations.

2. The compound of claim 1, wherein R_5 represents phenyl.

3. The compound of claim 1, wherein R_1 represents aryl.

4. The compound of claim 1, wherein R_2 represents RO-alkyl.

5. The compound of claim 1, wherein n is 1; and R_3 represents H, alkyl, or F.

6. The compound of claim 1, wherein n is 1; and R_4 represents H, alkyl, or F.

7. The compound of claim 1, wherein R_5 represents phenyl; and R_1 represents aryl.

8. The compound of claim 1, wherein R_5 represents phenyl; R_1 represents aryl; and R_2 represents RO-alkyl.

9. The compound of claim 1, wherein n is 1; R_5 represents phenyl; R_1 represents aryl; R_2 represents RO-alkyl; and R_3 represents H, alkyl, or F.

10. The compound of claim 1, wherein n is 1; R_5 represents phenyl; R_1 represents aryl; R_2 represents RO-alkyl; R_3 represents H, alkyl, or F; and R_4 represents H, alkyl, or F.

11. The compound of claim 1, wherein n is 0; and R_5 represents phenyl.

12. The compound of claim 1, wherein n is 0; and R_5 represents thiophene.

13. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 0; and R_5 represents chlorophenyl.

14. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 0; and R_5 represents thiophene.

15. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 0; and R_5 represents methoxyphenyl.

16. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 1; R_3 and R_4 are joined through a covalent bond to form a cyclobutyl ring; and R_5 represents chlorophenyl.

17. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents $(R)_2N$-alkyl; n is 1; R_3 and R_4 are joined through a covalent bond to form a cyclopropyl ring; and R_5 represents methoxyphenyl.

18. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 1; R_3 and R_4 are joined through a covalent bond to form a cyclopentyl ring; and R_5 represents fluorophenyl.

19. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 1; R_3 and R_4 are joined through a covalent bond to form a cyclopentyl ring; and R_5 represents methoxyphenyl.

20. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents $(R)_2N$-alkyl; n is 1; R_3 and R_4 are joined through a covalent bond to form a cyclobutyl ring; and R_5 represents chlorophenyl.

21. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents CH_3O-alkyl; n is 1; R_3 and R_4 are joined through a covalent bond to form a cyclobutyl ring; and R_5 represents chlorophenyl.

22. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 1; R_3 represents methyl; R_4 represents methyl; and R_5 represents chlorophenyl.

23. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents HO-alkyl; n is 1; R_3 represents H; R_4 represents OH; and R_5 represents chlorophenyl.

24. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents $CH_3C(O)O$-alkyl; n is 1; R_3 represents H; R_4 represents $CH_3C(O)O$-; and R_5 represents chlorophenyl.

25. The compound of claim 1, wherein R_1 represents phenyl; R_2 represents $CH_3C(O)O$-alkyl; n is 2; one set of geminal R_3 and R_4 are joined through a covalent bond to form a cyclobutyl ring; the other R_3 represents H; the other R_4 represents $CH_3C(O)O$-; and R_5 represents chlorophenyl.

26. The compound of claim 13, 14, 15, 16, 18, 19, 22, or 23, wherein HO-alkyl is $HOCH_2$-.

27. The compound of claim 17, wherein $(R)_2N$-alkyl is $PhCH_2CH_2NHCH_2$-.

28. The compound of claim 20, wherein $(R)_2N$-alkyl is $PhCH_2CH_2NHCH_2$-.

29. The compound of claim 20, wherein $(R)_2N$-alkyl is $(CH_3)_2NCH_2$-.

30. The compound of claim 21, wherein CH_3O-alkyl is CH_3OCH_2-.

31. The compound of claim 24 or 25, wherein $CH_3C(O)O$-alkyl is $CH_3C(O)OCH_2$-.

32. The compound of claim 1, wherein said compound has an IC_{50} less than 1 μM in an assay based on a mammalian dopamine, serotonin, or norepinephrine receptor or transporter.

33. The compound of claim 1, wherein said compound has an EC_{50} less than 1 μM in an assay based on a mammalian dopamine, serotonin, or norepinephrine receptor or transporter.

34. The compound of claim 1, wherein said compound has an IC_{50} less than 100 nM in an assay based on a mammalian dopamine, serotonin, or norepinephrine receptor or transporter.

35. The compound of claim 1, wherein said compound has an EC_{50} less than 100 nM in an assay based on a mammalian dopamine, serotonin, or norepinephrine receptor or transporter.

36. The compound of claim 1, wherein said compound has an IC_{50} less than 10 nM in an assay based on a mammalian dopamine, serotonin, or norepinephrine receptor or transporter.

37. The compound of claim 1, wherein said compound has an EC_{50} less than 10 nM in an assay based on a mammalian dopamine, serotonin, or norepinephrine receptor or transporter.

38. The compound of claim 1, wherein said compound has an IC_{50} less than 1 μM in an assay based on a mammalian dopamine receptor or transporter.

39. The compound of claim 1, wherein said compound has an EC_{50} less than 1 μM in an assay based on a mammalian dopamine receptor or transporter.

40. The compound of claim 1, wherein said compound has an IC_{50} less than 100 nM in an assay based on a mammalian dopamine receptor or transporter.

41. The compound of claim 1, wherein said compound has an EC_{50} less than 100 nM in an assay based on a mammalian dopamine receptor or transporter.

42. The compound of claim 1, wherein said compound has an IC_{50} less than 10 nM in an assay based on a mammalian dopamine receptor or transporter.

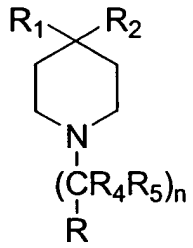
43. The compound of claim 1, wherein said compound has an EC_{50} less than 10 nM in an assay based on a mammalian dopamine receptor or transporter.

44. The compound of claim 1, wherein said compound is a single stereoisomer.

45. A formulation, comprising a compound of claim 1; and a pharmaceutically acceptable excipient.

46. The formulation of claim 45, wherein said pharmaceutically acceptable excipient is selected from the group consisting of cyclodextrins, celluloses, liposomes, micelle forming agents, and polymeric carriers.

47. A method of modulating the activity of a dopamine, serotonin, or norepinephrine receptor or transporter in a mammal, comprising the step of administering to said mammal a therapeutically effective amount of a compound represented by **A**:



A

wherein

R represents H, alkyl, aralkyl, cycloalkyl, alkenyl, aryl, heteroaryl, acyl, or sulfonyl;

R_1 represents aryl, heteroaryl, aralkyl, or heteroaralkyl;

R_2 represents alkyl, RO-alkyl, $(R)_2N$-alkyl, RS-alkyl, cycloalkyl, RO-cycloalkyl, $(R)_2N$-cycloalkyl, RS-cycloalkyl, alkenyl, aryl, or heteroaryl;

R_4 represents independently for each occurrence H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

R_5 represents independently for each occurrence H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

any geminal or vicinal pairs of R_4 and R_5 may be connected through a covalent bond;

n is independently for each occurrence 0, 1, 2, 3, or 4; and

the stereochemical configuration at any stereocenter of a compound represented by **A** is *R, S*, or a mixture of these configurations.

48. The method of claim 47, wherein said mammal is a primate, equine, canine or feline.

49. The method of claim 47, wherein said mammal is a human.

50. The method of claim 47, wherein said compound is administered orally.

51. The method of claim 47, wherein said compound is administered intravenously.

52. The method of claim 47, wherein said compound is administered sublingually.

53. The method of claim 47, wherein said compound is administered ocularly.

54. The method of claim 47, wherein said compound is administered transdermally.

55. The method of claim 47, wherein said compound is administered rectally.

56. The method of claim 47, wherein said compound is administered vaginally.

57. The method of claim 47, wherein said compound is administered topically.

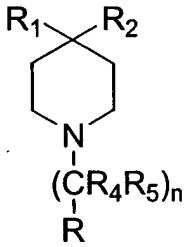
58. The method of claim 47, wherein said compound is administered intramuscularly.

59. The method of claim 47, wherein said compound is administered subcutaneously.

60. The method of claim 47, wherein said compound is administered bucally.

61. The method of claim 47, wherein said compound is administered nasally.

62. A method of modulating the activity of a dopamine receptor or transporter in a mammal, comprising the step of administering to said mammal a therapeutically effective amount of a compound represented by **A**:



A

wherein

R represents H, alkyl, aralkyl, cycloalkyl, alkenyl, aryl, heteroaryl, acyl, or sulfonyl;

R_1 represents aryl, heteroaryl, aralkyl, or heteroaralkyl;

R_2 represents alkyl, RO-alkyl, $(R)_2N$-alkyl, RS-alkyl, cycloalkyl, RO-cycloalkyl, $(R)_2N$-cycloalkyl, RS-cycloalkyl, alkenyl, aryl, or heteroaryl;

R_4 represents independently for each occurrence H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

R_5 represents independently for each occurrence H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

any geminal or vicinal pairs of R_4 and R_5 may be connected through a covalent bond;

n is independently for each occurrence 0, 1, 2, 3, or 4; and

the stereochemical configuration at any stereocenter of a compound represented by **A** is *R, S*, or a mixture of these configurations.

63. The method of claim 62, wherein said mammal is a primate, equine, canine or feline.

64. The method of claim 62, wherein said mammal is a human.

65. The method of claim 62, wherein said compound is administered orally.

66. The method of claim 62, wherein said compound is administered intravenously.

67. The method of claim 62, wherein said compound is administered sublingually.

68. The method of claim 62, wherein said compound is administered ocularly.

69. The method of claim 62, wherein said compound is administered transdermally.

70. The method of claim 62, wherein said compound is administered rectally.

71. The method of claim 62, wherein said compound is administered vaginally.

72. The method of claim 62, wherein said compound is administered topically.

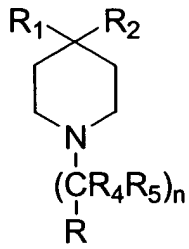
73. The method of claim 62, wherein said compound is administered intramuscularly.

74. The method of claim 62, wherein said compound is administered subcutaneously.

75. The method of claim 62, wherein said compound is administered buccally.

76. The method of claim 62, wherein said compound is administered nasally.

77. A method of treating a mammal suffering from addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhane disease, Wilson's disease, or Tourette's syndrome, comprising the step of administering to said mammal a therapeutically effective amount of a compound represented by **A**:



A

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R represents H, alkyl, aralkyl, cycloalkyl, alkenyl, aryl, heteroaryl, acyl, or sulfonyl;

R_1 represents aryl, heteroaryl, aralkyl, or heteroaralkyl;

R_2 represents alkyl, RO-alkyl, $(R)_2N$-alkyl, RS-alkyl, cycloalkyl, RO-cycloalkyl, $(R)_2N$-cycloalkyl, RS-cycloalkyl, alkenyl, aryl, or heteroaryl;

R_4 represents independently for each occurrence H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

R_5 represents independently for each occurrence H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, -OR, or F;

any geminal or vicinal pairs of R_4 and R_5 may be connected through a covalent bond;

n is independently for each occurrence 0, 1, 2, 3, or 4; and

the stereochemical configuration at any stereocenter of a compound represented by **A** is *R*, *S*, or a mixture of these configurations.

78. The method of claim 77, wherein said mammal is a primate, equine, canine or feline.

79. The method of claim 77, wherein said mammal is a human.

80. The method of claim 77, wherein said compound is administered orally.

81. The method of claim 77, wherein said compound is administered intravenously.

82. The method of claim 77, wherein said compound is administered sublingually.

83. The method of claim 77, wherein said compound is administered ocularly.

84. The method of claim 77, wherein said compound is administered transdermally.

85. The method of claim 77, wherein said compound is administered rectally.

86. The method of claim 77, wherein said compound is administered vaginally.

87. The method of claim 77, wherein said compound is administered topically.

88. The method of claim 77, wherein said compound is administered intramuscularly.

89. The method of claim 77, wherein said compound is administered subcutaneously.

90. The method of claim 77, wherein said compound is administered buccally.

91. The method of claim 77, wherein said compound is administered nasally.